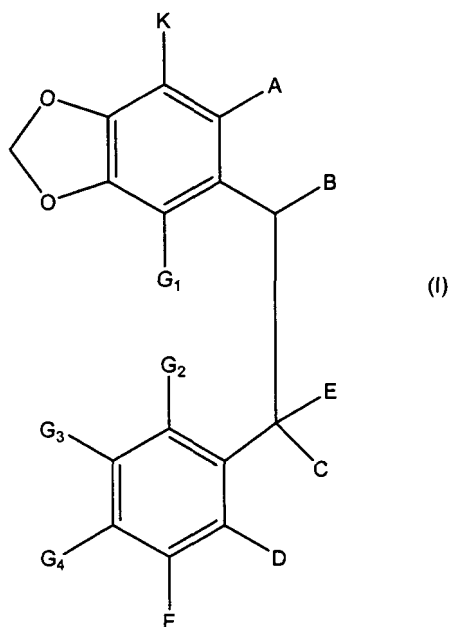


**WHAT IS CLAIMED IS:**

1. A compound of formula (I):



wherein:

A is (i)  $(\text{CH}_2)_n\text{-N-C(O)-O-C}_{1-6}\text{alkyl}$

|  
W

in which W is  $\text{C}_{1-6}\text{alkyl}$  or  $\text{C}_{1-6}\text{alkylaryl}$  and  $n=0, 1$ , or  $2$ , or

(ii)  $(\text{CH}_2)_2\text{-N-}$

|  
Y

and forms a nitrogen-containing heterocycloalkyl ring with

B,

in which Y is:

- (a) hydrogen,  $\text{C}_{1-6}\text{alkyl}$ , or  $\text{C}_{1-6}\text{alkylaryl}$ ,
- (b)  $-\text{C(O)-C}_{1-6}\text{alkyl}$  or  $-\text{C(O)-C}_{1-6}\text{alkylaryl}$ ,

- (c)  $-\text{CH}_2-\text{CH}(\text{OH})-\text{CH}_2-\text{Z}$ , where Z is  $\text{C}_{1-6}$ alkyl or  $-\text{O}-\text{C}_{1-6}$ alkyl,
- (d) aryl, or
- (e) heteroaryl;

B is  $-\text{OH}$ , halogen, or a single bond that forms a six-membered heterocycloalkyl ring with A;

C is hydrogen,  $\text{C}_{1-6}$ alkyl, or halogen;

- D is
- (i)  $-\text{CH}_2$ -halogen,  $-\text{CH}(\text{O})$ ,  $-\text{COOH}$ ,  $-\text{C}(\text{O})-\text{O}-\text{C}_{1-6}$ alkyl,  $-\text{C}(\text{O})-\text{O}-\text{C}_{1-6}$ alkylaryl,  $-\text{CH}_2\text{OH}$ , or  $-(\text{CH}_2)_n-\text{CH}_3$ , wherein n is 1, 2, or 3, or
  - (ii) together with E forms a five- or six-membered cycloalkyl or heterocycloalkyl ring;

E is  $-\text{OH}$  or  $\text{C}_{1-6}$ alkyl, or together with D forms a five- or six-membered cycloalkyl or heterocycloalkyl ring, wherein this heterocycloalkyl ring contains  $-\text{C}(\text{O})\text{O}-$ ,  $-\text{C}(\text{O})\text{NH}-$ ,  $-\text{C}(\text{S})\text{O}-$ , or  $-\text{C}(\text{S})\text{NH}-$ ;

F is hydrogen,  $-\text{O}-\text{C}_{1-6}$ alkyl,  $-\text{O}-\text{C}_{1-6}$ alkylaryl,  $-\text{O}-\text{C}_{1-6}$ alkylheteroaryl, halogen, aryl,  $\text{C}_{1-6}$ alkyl,  $-\text{SH}$ , thio- $\text{C}_{1-6}$ alkyl,  $-\text{S}$ -aryl,  $-\text{O}-\text{SO}_2-\text{C}_{1-6}$ alkyl,  $-\text{O}-\text{SO}_2-\text{C}_{1-6}$ alkylaryl, cyano, or  $\text{NR}_1\text{R}_2$ , where  $\text{R}_1$  and  $\text{R}_2$  are independently hydrogen,  $\text{C}_{1-6}$ alkyl,  $\text{C}_{1-6}$ alkylaryl, cyano, aryl, heteroaryl,  $-\text{SO}_2-\text{C}_{1-6}$ alkyl, or  $-\text{SO}_2-\text{N}(\text{C}_{1-6}\text{alkyl})(\text{C}_{1-6}\text{alkyl})$ ;

$\text{G}_1$  to  $\text{G}_4$  independently represent hydrogen, aryl, halogen,  $\text{C}_{1-6}$ alkyl, hydroxyl,  $-\text{S}-\text{C}_{1-6}$ alkyl, nitro,  $-\text{O}-\text{C}_{1-6}$ alkyl,  $-\text{O}-\text{C}_{1-6}$ alkylaryl, or  $-(\text{CH}_2)_x\text{NR}_1\text{R}_2$ , where x is 0, 1, or 2 and where  $\text{R}_1$  and  $\text{R}_2$  are independently hydrogen,  $\text{C}_{1-6}$

<sub>6</sub>alkyl, C<sub>1-6</sub>alkylaryl, cyano, aryl, heteroaryl, or acyl, or

two adjacent G<sub>2</sub> to G<sub>4</sub> groups together comprise an alkylene  $-(CH_2)_m-$ , where m is 3 or 4, to form a cycloalkyl ring, or together comprise an alkylene dioxy  $-O-(CH_2)_n-O-$ , where n is 1, 2, or 3, to form a heterocycloalkyl ring; and

K is C<sub>1-6</sub>alkyl, halogen, cyano, aryl, hydrogen, hydroxyl, thio-C<sub>1-6</sub>alkyl, sulfonyl, sulfoxyl, nitro, -O-C<sub>1-6</sub>alkyl, -O-C<sub>1-6</sub>alkylaryl, or NR<sub>1</sub>R<sub>2</sub>, where R<sub>1</sub> and R<sub>2</sub> are independently hydrogen, C<sub>1-6</sub>alkyl, C<sub>1-6</sub>alkylaryl, cyano, aryl, heteroaryl, or acyl;

wherein one or more of said alkyl, aryl, heteroaryl, cycloalkyl, heterocycloalkyl, and alkylaryl groups are optionally substituted with one or more suitable substituents;

a salt thereof, a solvate thereof, a solvated salt thereof, or a combination of two or more thereof;

provided that when A is  $-(CH_2)_2-N(Y)-$  and forms a nitrogen-containing heterocycloalkyl ring with B, and D together with E forms an unsubstituted five-membered heterocycloalkyl ring that contains  $-C(O)O-$ , then:

- (i) F is not unsubstituted -O-C<sub>1-6</sub>alkyl or dialkylamino-substituted -O-C<sub>1-6</sub>alkyl when G<sub>1</sub> is hydrogen, hydroxyl, or unsubstituted -O-C<sub>1-6</sub>alkyl, G<sub>2</sub> is hydrogen, halogen, or a nitrogen-containing radical, G<sub>3</sub> is hydrogen, G<sub>4</sub> is hydroxyl or unsubstituted -O-C<sub>1-6</sub>alkyl, and Y is hydrogen,

unsubstituted C<sub>1-6</sub>alkyl, oxo-substituted C<sub>1-6</sub>alkyl, thiocarbamoyl-substituted C<sub>1-6</sub>alkyl, hydroxy-substituted C<sub>1-6</sub>alkyl, or heteroaryl,

(ii) F is not -NO<sub>2</sub> or NR<sub>1</sub>R<sub>2</sub> where R<sub>1</sub> and R<sub>2</sub> are both hydrogen or the same oxo-substituted C<sub>1-6</sub>alkyl (a) when at least three of G<sub>1</sub>, G<sub>2</sub>, G<sub>3</sub>, and G<sub>4</sub> are the same unsubstituted -O-C<sub>1-6</sub>alkyl or (b) when G<sub>2</sub> is -NO<sub>2</sub>, and

(iii) F is not hydrogen (a) when G<sub>2</sub>, G<sub>3</sub>, and G<sub>4</sub> are all hydrogen or (b) when G<sub>2</sub> and G<sub>3</sub> or G<sub>3</sub> and G<sub>4</sub> together comprise a methylenedioxy or (c) when at least two of G<sub>2</sub>, G<sub>3</sub>, and G<sub>4</sub> are unsubstituted -O-C<sub>1-6</sub>alkyl or (d) when G<sub>1</sub> is unsubstituted -O-C<sub>1-6</sub>alkyl and G<sub>4</sub> is a nitrogen-containing radical or halogen.

2. The compound of claim 1, wherein A is --(CH<sub>2</sub>)<sub>2</sub>-N(Y)-- and forms a nitrogen-containing heterocycloalkyl ring with B.

3. The compound of claim 2, wherein Y is hydrogen, C<sub>1-6</sub>alkyl, or C<sub>1-6</sub>alkylaryl.

4. The compound of claim 1, wherein D together with E forms a substituted or unsubstituted five- or six-membered heterocycloalkyl ring that contains --C(O)O-, -C(O)NH-, -C(S)O-, or -C(S)NH-.
5. The compound of claim 1, wherein D together with E forms a five-membered heterocycloalkyl ring that contains --C(O)O-.
6. The compound of claim 1, wherein A is --(CH<sub>2</sub>)<sub>2</sub>-N(Y)-- and forms a nitrogen-containing heterocycloalkyl ring with B, and D together with E forms a substituted or unsubstituted five- or six-membered heterocycloalkyl ring that contains --C(O)O-, -C(O)NH-, -C(S)O-, or -C(S)NH-.
7. The compound of claim 1, wherein A is --(CH<sub>2</sub>)<sub>2</sub>-N(Y)-- and forms a nitrogen-containing heterocycloalkyl ring with B, and D together with E forms a five-membered heterocycloalkyl ring that contains --C(O)O-.
8. The compound of claim 6 or 7, wherein Y is hydrogen, C<sub>1-6</sub>alkyl, or C<sub>1-6</sub>alkylaryl.
9. The compound of claim 1, 6, or 7, wherein K is hydrogen.

10. The compound of claim 1, 6, or 7, wherein  $G_1$  to  $G_4$  each independently represents hydrogen or  $-O-C_{1-6}$ alkyl.
11. The compound of claim 6 or 7, wherein said compound is present as a racemic mixture.
12. The compound of claim 11, wherein one isomer of said compound is present in an amount greater than 50% of said racemic mixture.
13. The compound of claim 11, wherein one isomer of said compound is present in an amount greater than 75% of said racemic mixture.
14. The compound of claim 11, wherein one isomer of said compound is present in an amount greater than 90% of said racemic mixture.
15. A pharmaceutical composition comprising a pharmaceutically effective amount of a compound of claim 1 and a pharmaceutically acceptable carrier.
16. A method of inhibiting mitotic spindle formation, comprising contacting a cell with an effective amount of a compound of claim 1.

17. The method of claim 16, wherein A is  $--(CH_2)_2-N(Y)--$  and forms a nitrogen-containing heterocycloalkyl ring with B.
18. The method of claim 17, wherein Y is hydrogen,  $C_{1-6}$ alkyl, or  $C_{1-6}$ alkylaryl.
19. The method of claim 16, wherein D together with E forms a substituted or unsubstituted five- or six-membered heterocycloalkyl ring that contains  $--C(O)O-$ ,  $-C(O)NH-$ ,  $-C(S)O-$ , or  $-C(S)NH-$ .
20. The method of claim 16, wherein D together with E forms a five-membered heterocycloalkyl ring that contains  $--C(O)O-$ .
21. The method of claim 16, wherein A is  $--(CH_2)_2-N(Y)--$  and forms a nitrogen-containing heterocycloalkyl ring with B, and D together with E forms a substituted or unsubstituted five- or six-membered heterocycloalkyl ring that contains  $--C(O)O-$ ,  $-C(O)NH-$ ,  $-C(S)O-$ , or  $-C(S)NH-$ .
22. The method of claim 16, wherein A is  $--(CH_2)_2-N(Y)--$  and forms a nitrogen-containing heterocycloalkyl ring with B, and D together with E forms a five-membered heterocycloalkyl ring that contains  $--C(O)O-$ .

23. The method of claim 21 or 22, wherein Y is hydrogen, C<sub>1-6</sub>alkyl, or C<sub>1-6</sub>alkylaryl.
24. The method of claim 16, 21, or 22, wherein K is hydrogen.
25. The method of claim 16, 21, or 22, wherein G<sub>1</sub> to G<sub>4</sub> each independently represents hydrogen or -O-C<sub>1-6</sub>alkyl.
26. A method of inhibiting mitosis, comprising contacting a cell with an effective amount of a compound of claim 1.
27. The method of claim 26, wherein A is --(CH<sub>2</sub>)<sub>2</sub>-N(Y)-- and forms a nitrogen-containing heterocycloalkyl ring with B.
28. The method of claim 27, wherein Y is hydrogen, C<sub>1-6</sub>alkyl, or C<sub>1-6</sub>alkylaryl.
29. The method of claim 26, wherein D together with E forms a substituted or unsubstituted five- or six-membered heterocycloalkyl ring that contains --C(O)O-, -C(O)NH-, -C(S)O-, or -C(S)NH-.
30. The method of claim 26, wherein D together with E forms a five-membered heterocycloalkyl ring that contains --C(O)O-.



31. The method of claim 26, wherein A is  $-(CH_2)_2-N(Y)-$  and forms a nitrogen-containing heterocycloalkyl ring with B, and D together with E forms a substituted or unsubstituted five- or six-membered heterocycloalkyl ring that contains  $-C(O)O-$ ,  $-C(O)NH-$ ,  $-C(S)O-$ , or  $-C(S)NH-$ .

32. The method of claim 26, wherein A is  $-(CH_2)_2-N(Y)-$  and forms a nitrogen-containing heterocycloalkyl ring with B, and D together with E forms a five-membered heterocycloalkyl ring that contains  $-C(O)O-$ .

33. The method of claim 31 or 32, wherein Y is hydrogen,  $C_{1-6}$ alkyl, or  $C_{1-6}$ alkylaryl.

34. The method of claim 26, 31, or 32, wherein K is hydrogen.

35. The method of claim 26, 31, or 32, wherein  $G_1$  to  $G_4$  each independently represents hydrogen or  $-O-C_{1-6}$ alkyl.

36. A method of inducing apoptosis, comprising contacting a cell with an effective amount of a compound of claim 1.

37. The method of claim 36, wherein A is  $-(CH_2)_2-N(Y)-$  and forms a nitrogen-containing heterocycloalkyl ring with B.
38. The method of claim 37, wherein Y is hydrogen,  $C_{1-6}$ alkyl, or  $C_{1-6}$ alkylaryl.
39. The method of claim 36, wherein D together with E forms a substituted or unsubstituted five- or six-membered heterocycloalkyl ring that contains  $-C(O)O-$ ,  $-C(O)NH-$ ,  $-C(S)O-$ , or  $-C(S)NH-$ .
40. The method of claim 36, wherein D together with E forms a five-membered heterocycloalkyl ring that contains  $-C(O)O-$ .
41. The method of claim 36, wherein A is  $-(CH_2)_2-N(Y)-$  and forms a nitrogen-containing heterocycloalkyl ring with B, and D together with E forms a substituted or unsubstituted five- or six-membered heterocycloalkyl ring that contains  $-C(O)O-$ ,  $-C(O)NH-$ ,  $-C(S)O-$ , or  $-C(S)NH-$ .
42. The method of claim 36, wherein A is  $-(CH_2)_2-N(Y)-$  and forms a nitrogen-containing heterocycloalkyl ring with B, and D together with E forms a five-membered heterocycloalkyl ring that contains  $-C(O)O-$ .

43. The method of claim 41 or 42, wherein Y is hydrogen, C<sub>1-6</sub>alkyl, or C<sub>1-6</sub>alkylaryl.
44. The method of claim 36, 41, or 42, wherein K is hydrogen.
45. The method of claim 36, 41, or 42, wherein G<sub>1</sub> to G<sub>4</sub> each independently represents hydrogen or -O-C<sub>1-6</sub>alkyl.
46. A method of inhibiting cell cycle, comprising contacting a cell with an effective amount of a compound of claim 1.
47. The method of claim 46, wherein A is --(CH<sub>2</sub>)<sub>2</sub>-N(Y)-- and forms a nitrogen-containing heterocycloalkyl ring with B.
48. The method of claim 47, wherein Y is hydrogen, C<sub>1-6</sub>alkyl, or C<sub>1-6</sub>alkylaryl.
49. The method of claim 46, wherein D together with E forms a substituted or unsubstituted five- or six-membered heterocycloalkyl ring that contains --C(O)O-, -C(O)NH-, -C(S)O-, or -C(S)NH-.
50. The method of claim 46, wherein D together with E forms a five-membered heterocycloalkyl ring that contains --C(O)O-.

51. The method of claim 46, wherein A is  $-(CH_2)_2-N(Y)-$  and forms a nitrogen-containing heterocycloalkyl ring with B, and D together with E forms a substituted or unsubstituted five- or six-membered heterocycloalkyl ring that contains  $-C(O)O-$ ,  $-C(O)NH-$ ,  $-C(S)O-$ , or  $-C(S)NH-$ .

52. The method of claim 46, wherein A is  $-(CH_2)_2-N(Y)-$  and forms a nitrogen-containing heterocycloalkyl ring with B, and D together with E forms a five-membered heterocycloalkyl ring that contains  $-C(O)O-$ .

53. The method of claim 51 or 52, wherein Y is hydrogen,  $C_{1-6}$ alkyl, or  $C_{1-6}$ alkylaryl.

54. The method of claim 46, 51, or 52, wherein K is hydrogen.

55. The method of claim 46, 51, or 52, wherein  $G_1$  to  $G_4$  each independently represents hydrogen or  $-O-C_{1-6}$ alkyl.

56. A method of inhibiting cell division, comprising contacting a cell with an effective amount of a compound of claim 1.

57. The method of claim 56, wherein A is  $-(CH_2)_2-N(Y)-$  and forms a nitrogen-containing heterocycloalkyl ring with B.
58. The method of claim 57, wherein Y is hydrogen,  $C_{1-6}$ alkyl, or  $C_{1-6}$ alkylaryl.
59. The method of claim 56, wherein D together with E forms a substituted or unsubstituted five- or six-membered heterocycloalkyl ring that contains  $-C(O)O-$ ,  $-C(O)NH-$ ,  $-C(S)O-$ , or  $-C(S)NH-$ .
60. The method of claim 56, wherein D together with E forms a five-membered heterocycloalkyl ring that contains  $-C(O)O-$ .
61. The method of claim 56, wherein A is  $-(CH_2)_2-N(Y)-$  and forms a nitrogen-containing heterocycloalkyl ring with B, and D together with E forms a substituted or unsubstituted five- or six-membered heterocycloalkyl ring that contains  $-C(O)O-$ ,  $-C(O)NH-$ ,  $-C(S)O-$ , or  $-C(S)NH-$ .
62. The method of claim 56, wherein A is  $-(CH_2)_2-N(Y)-$  and forms a nitrogen-containing heterocycloalkyl ring with B, and D together with E forms a five-membered heterocycloalkyl ring that contains  $-C(O)O-$ .

63. The method of claim 61 or 62, wherein Y is hydrogen, C<sub>1-6</sub>alkyl, or C<sub>1-6</sub>alkylaryl.
64. The method of claim 56, 61, or 62, wherein K is hydrogen.
65. The method of claim 56, 61, or 62, wherein G<sub>1</sub> to G<sub>4</sub> each independently represents hydrogen or -O-C<sub>1-6</sub>alkyl.
66. A method of arresting cells in S-phase, comprising contacting a cell with an effective amount of a compound of claim 1.
67. The method of claim 66, wherein A is  $-(CH_2)_2-N(Y)-$  and forms a nitrogen-containing heterocycloalkyl ring with B.
68. The method of claim 67, wherein Y is hydrogen, C<sub>1-6</sub>alkyl, or C<sub>1-6</sub>alkylaryl.
69. The method of claim 66, wherein D together with E forms a substituted or unsubstituted five- or six-membered heterocycloalkyl ring that contains  $-C(O)O-$ ,  $-C(O)NH-$ ,  $-C(S)O-$ , or  $-C(S)NH-$ .
70. The method of claim 66, wherein D together with E forms a five-membered heterocycloalkyl ring that contains  $-C(O)O-$ .

71. The method of claim 66, wherein A is  $-(CH_2)_2-N(Y)-$  and forms a nitrogen-containing heterocycloalkyl ring with B, and D together with E forms a substituted or unsubstituted five- or six-membered heterocycloalkyl ring that contains  $-C(O)O-$ ,  $-C(O)NH-$ ,  $-C(S)O-$ , or  $-C(S)NH-$ .

72. The method of claim 66, wherein A is  $-(CH_2)_2-N(Y)-$  and forms a nitrogen-containing heterocycloalkyl ring with B, and D together with E forms a five-membered heterocycloalkyl ring that contains  $-C(O)O-$ .

73. The method of claim 71 or 72, wherein Y is hydrogen,  $C_{1-6}$ alkyl, or  $C_{1-6}$ alkylaryl.

74. The method of claim 66, 71, or 72, wherein K is hydrogen.

75. The method of claim 66, 71, or 72, wherein  $G_1$  to  $G_4$  each independently represents hydrogen or  $-O-C_{1-6}$ alkyl.

76. A method of arresting cells in G2/M, comprising contacting a cell with an effective amount of a compound of claim 1.

77. The method of claim 76, wherein A is  $--(CH_2)_2-N(Y)--$  and forms a nitrogen-containing heterocycloalkyl ring with B.

78. The method of claim 77, wherein Y is hydrogen,  $C_{1-6}$ alkyl, or  $C_{1-6}$ alkylaryl.

79. The method of claim 76, wherein D together with E forms a substituted or unsubstituted five- or six-membered heterocycloalkyl ring that contains  $--C(O)O-$ ,  $-C(O)NH-$ ,  $-C(S)O-$ , or  $-C(S)NH-$ .

80. The method of claim 76, wherein D together with E forms a five-membered heterocycloalkyl ring that contains  $--C(O)O-$ .

81. The method of claim 76, wherein A is  $--(CH_2)_2-N(Y)--$  and forms a nitrogen-containing heterocycloalkyl ring with B, and D together with E forms a substituted or unsubstituted five- or six-membered heterocycloalkyl ring that contains  $--C(O)O-$ ,  $-C(O)NH-$ ,  $-C(S)O-$ , or  $-C(S)NH-$ .

82. The method of claim 76, wherein A is  $--(CH_2)_2-N(Y)--$  and forms a nitrogen-containing heterocycloalkyl ring with B, and D together with E forms a five-membered heterocycloalkyl ring that contains  $--C(O)O-$ .



83. The method of claim 81 or 82, wherein Y is hydrogen, C<sub>1-6</sub>alkyl, or C<sub>1-6</sub>alkylaryl.
84. The method of claim 76, 81, or 82, wherein K is hydrogen.
85. The method of claim 76, 81, or 82, wherein G<sub>1</sub> to G<sub>4</sub> each independently represents hydrogen or -O-C<sub>1-6</sub>alkyl.
86. A method of inhibiting topoisomerase I, comprising contacting topoisomerase I with an effective amount of a compound of claim 1.
87. The method of claim 86, wherein A is --(CH<sub>2</sub>)<sub>2</sub>-N(Y)-- and forms a nitrogen-containing heterocycloalkyl ring with B.
88. The method of claim 87, wherein Y is hydrogen, C<sub>1-6</sub>alkyl, or C<sub>1-6</sub>alkylaryl.
89. The method of claim 86, wherein D together with E forms a substituted or unsubstituted five- or six-membered heterocycloalkyl ring that contains --C(O)O-, -C(O)NH-, -C(S)O-, or -C(S)NH-.
90. The method of claim 86, wherein D together with E forms a five-membered heterocycloalkyl ring that contains --C(O)O-.

91. The method of claim 86, wherein A is  $--(CH_2)_2-N(Y)--$  and forms a nitrogen-containing heterocycloalkyl ring with B, and D together with E forms a substituted or unsubstituted five- or six-membered heterocycloalkyl ring that contains  $--C(O)O-$ ,  $-C(O)NH-$ ,  $-C(S)O-$ , or  $-C(S)NH-$ .

92. The method of claim 86, wherein A is  $--(CH_2)_2-N(Y)--$  and forms a nitrogen-containing heterocycloalkyl ring with B, and D together with E forms a five-membered heterocycloalkyl ring that contains  $--C(O)O-$ .

93. The method of claim 91 or 92, wherein Y is hydrogen,  $C_{1-6}$ alkyl, or  $C_{1-6}$ alkylaryl.

94. The method of claim 86, 91, or 92, wherein K is hydrogen.

95. The method of claim 86, 91, or 92, wherein  $G_1$  to  $G_4$  each independently represents hydrogen or  $-O-C_{1-6}$ alkyl.

96. A method of inhibiting topoisomerase II, comprising contacting topoisomerase II with an effective amount of a compound of claim 1.

97. The method of claim 96, wherein A is  $-(CH_2)_2-N(Y)-$  and forms a nitrogen-containing heterocycloalkyl ring with B.
98. The method of claim 97, wherein Y is hydrogen,  $C_{1-6}$ alkyl, or  $C_{1-6}$ alkylaryl.
99. The method of claim 96, wherein D together with E forms a substituted or unsubstituted five- or six-membered heterocycloalkyl ring that contains  $-C(O)O-$ ,  $-C(O)NH-$ ,  $-C(S)O-$ , or  $-C(S)NH-$ .
100. The method of claim 96, wherein D together with E forms a five-membered heterocycloalkyl ring that contains  $-C(O)O-$ .
101. The method of claim 96, wherein A is  $-(CH_2)_2-N(Y)-$  and forms a nitrogen-containing heterocycloalkyl ring with B, and D together with E forms a substituted or unsubstituted five- or six-membered heterocycloalkyl ring that contains  $-C(O)O-$ ,  $-C(O)NH-$ ,  $-C(S)O-$ , or  $-C(S)NH-$ .
102. The method of claim 96, wherein A is  $-(CH_2)_2-N(Y)-$  and forms a nitrogen-containing heterocycloalkyl ring with B, and D together with E forms a five-membered heterocycloalkyl ring that contains  $-C(O)O-$ .

103. The method of claim 101 or 102, wherein Y is hydrogen, C<sub>1-6</sub>alkyl, or C<sub>1-6</sub>alkylaryl.

104. The method of claim 96, 101, or 102, wherein K is hydrogen.

105. The method of claim 96, 101, or 102, wherein G<sub>1</sub> to G<sub>4</sub> each independently represents hydrogen or -O-C<sub>1-6</sub>alkyl.

106. A method of inhibiting microtubule polymerization, comprising contacting a cell with an effective amount of a compound of claim 1.

107. The method of claim 106, wherein A is --(CH<sub>2</sub>)<sub>2</sub>-N(Y)-- and forms a nitrogen-containing heterocycloalkyl ring with B.

108. The method of claim 107, wherein Y is hydrogen, C<sub>1-6</sub>alkyl, or C<sub>1-6</sub>alkylaryl.

109. The method of claim 106, wherein D together with E forms a substituted or unsubstituted five- or six-membered heterocycloalkyl ring that contains --C(O)O-, -C(O)NH-, -C(S)O-, or -C(S)NH-.

110. The method of claim 106, wherein D together with E forms a five-membered heterocycloalkyl ring that contains --C(O)O-.

111. The method of claim 106, wherein A is --(CH<sub>2</sub>)<sub>2</sub>-N(Y)-- and forms a nitrogen-containing heterocycloalkyl ring with B, and D together with E forms a substituted or unsubstituted five- or six-membered heterocycloalkyl ring that contains --C(O)O-, -C(O)NH-, -C(S)O-, or -C(S)NH-.

112. The method of claim 106, wherein A is --(CH<sub>2</sub>)<sub>2</sub>-N(Y)-- and forms a nitrogen-containing heterocycloalkyl ring with B, and D together with E forms a five-membered heterocycloalkyl ring that contains --C(O)O-.

113. The method of claim 111 or 112, wherein Y is hydrogen, C<sub>1-6</sub>alkyl, or C<sub>1-6</sub>alkylaryl.

114. The method of claim 106, 111, or 112, wherein K is hydrogen.

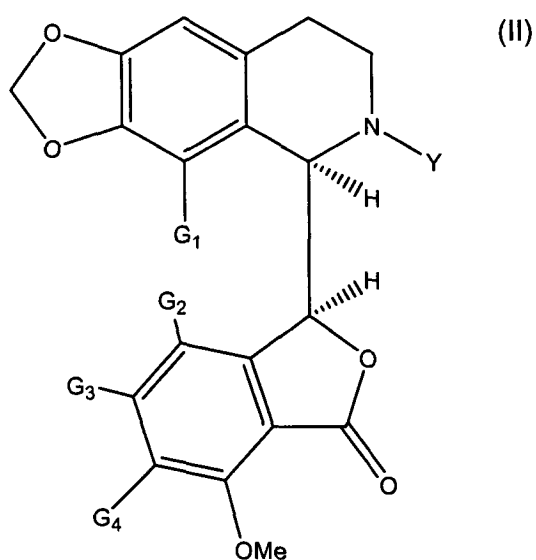
115. The method of claim 106, 111, or 112, wherein G<sub>1</sub> to G<sub>4</sub> each independently represents hydrogen or -O-C<sub>1-6</sub>alkyl.

116. A method of inhibiting yeast growth, comprising contacting a yeast with an effective amount of a compound of claim 1.

117. A method of inhibiting fungal growth, comprising contacting a fungus with an effective amount of a compound of claim 1.

118. A method of cough suppression, comprising administering to a mammal in need thereof an effective amount of a compound of claim 1.

119. A synthesis method, comprising:  
converting a compound of formula (II):



wherein:

Y is:

(a) hydrogen, C<sub>1-6</sub>alkyl, or C<sub>1-6</sub>alkylaryl,

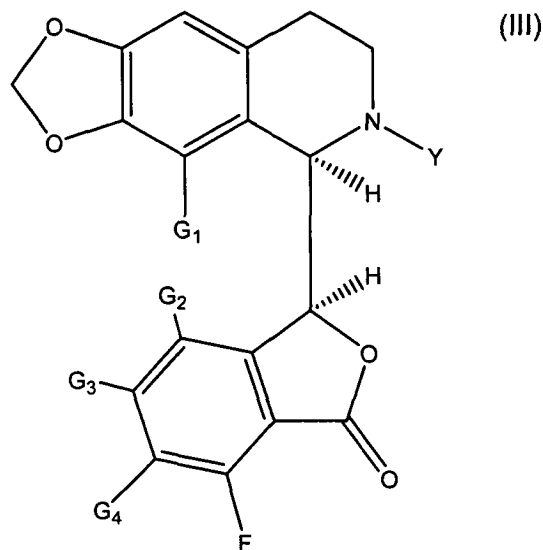
- (b)  $-\text{C}(\text{O})-\text{C}_{1-6}\text{alkyl}$  or  $-\text{C}(\text{O})-\text{C}_{1-6}\text{alkylaryl}$ ,
- (c)  $-\text{CH}_2-\text{CH}(\text{OH})-\text{CH}_2-\text{Z}$ , where Z is  $\text{C}_{1-6}\text{alkyl}$  or  $-\text{O}-\text{C}_{1-6}\text{alkyl}$ ,
- (d) aryl, or
- (e) heteroaryl; and

$\text{G}_1$  to  $\text{G}_4$  independently represent hydrogen, aryl, halogen,  $\text{C}_{1-6}\text{alkyl}$ , hydroxyl,  $-\text{S}-\text{C}_{1-6}\text{alkyl}$ , nitro,  $-\text{O}-\text{C}_{1-6}\text{alkyl}$ ,  $-\text{O}-\text{C}_{1-6}\text{alkylaryl}$ , or  $-(\text{CH}_2)_x\text{NR}_1\text{R}_2$ , where x is 0, 1, or 2 and where  $\text{R}_1$  and  $\text{R}_2$  are independently hydrogen,  $\text{C}_{1-6}\text{alkyl}$ ,  $\text{C}_{1-6}\text{alkylaryl}$ , cyano, aryl, heteroaryl, or acyl, or

two adjacent  $\text{G}_2$  to  $\text{G}_4$  groups together comprise an alkylene  $-(\text{CH}_2)_m-$ , where m is 3 or 4, to form a cycloalkyl ring, or together comprise an alkylene dioxy  $-\text{O}-(\text{CH}_2)_n-\text{O}-$ , where n is 1, 2, or 3, to form a heterocycloalkyl ring;

a salt thereof, a solvate thereof, a solvated salt thereof, or a combination of two or more thereof;

into a single stereoisomer of formula (III):



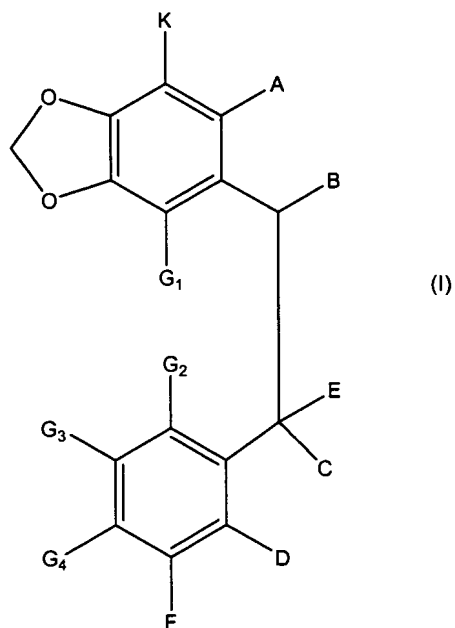
wherein  $G_1$ ,  $G_2$ ,  $G_3$ ,  $G_4$ , and  $Y$  are as defined above, and  $F$  is  $-O-C_{2-6}alkyl$ ,  $-O-C_{1-6}alkylaryl$ ,  $-O-C_{1-6}alkylheteroaryl$ , halogen, aryl,  $C_{1-6}alkyl$ ,  $-SH$ , thio- $C_{1-6}alkyl$ ,  $-S-aryl$ ,  $-O-SO_2-C_{1-6}alkyl$ ,  $-O-SO_2-C_{1-6}alkylaryl$ , cyano, or  $NR_1R_2$ , where  $R_1$  and  $R_2$  are independently hydrogen,  $C_{1-6}alkyl$ ,  $C_{1-6}alkylaryl$ , cyano, aryl, heteroaryl,  $-SO_2-C_{1-6}alkyl$ , or  $-SO_2-N(C_{1-6}alkyl)(C_{1-6}alkyl)$ , provided that  $F$  is not  $-O-t-C_4H_9$  or  $-O-CH_2CH_2N(C_2H_5)_2$ ;

wherein one or more of said alkyl, aryl, heteroaryl, and alkylaryl groups are optionally substituted with one or more suitable substituents;

a salt thereof, a solvate thereof, a solvated salt thereof, or a combination of two or more thereof.

120. A method of treating cancer comprising administering to a mammal in need thereof an effective amount of a compound of formula (I):





wherein:

A is (i)  $(\text{CH}_2)_n\text{-N-C(O)-O-C}_{1-6}\text{alkyl}$

|  
W

in which W is  $\text{C}_{1-6}\text{alkyl}$  or  $\text{C}_{1-6}\text{alkylaryl}$  and  $n=0, 1, \text{ or } 2$ , or

(ii)  $(\text{CH}_2)_2\text{-N-}$

|  
Y

and forms a nitrogen-containing heterocycloalkyl ring with

B,

in which Y is:

- (a) hydrogen,  $\text{C}_{1-6}\text{alkyl}$ , or  $\text{C}_{1-6}\text{alkylaryl}$ ,
- (b)  $-\text{C(O)-C}_{1-6}\text{alkyl}$  or  $-\text{C(O)-C}_{1-6}\text{alkylaryl}$ ,
- (c)  $-\text{CH}_2\text{-CH(OH)-CH}_2\text{-Z}$ , where Z is  $\text{C}_{1-6}\text{alkyl}$  or  $-\text{O-C}_{1-6}\text{alkyl}$ ,

(d) aryl, or

(e) heteroaryl;

B is -OH, halogen, or a single bond that forms a six-membered heterocycloalkyl ring with A;

C is hydrogen, C<sub>1-6</sub>alkyl, or halogen;

D is (i) -CH<sub>2</sub>-halogen, -CH(O), -COOH, -C(O)-O-C<sub>1-6</sub>alkyl, -C(O)-O-C<sub>1-6</sub>alkylaryl, -CH<sub>2</sub>OH, or -(CH<sub>2</sub>)<sub>n</sub>-CH<sub>3</sub>, wherein n is 1, 2, or 3, or  
(ii) together with E forms a five- or six-membered cycloalkyl or heterocycloalkyl ring;

E is -OH or C<sub>1-6</sub>alkyl, or together with D forms a five- or six-membered cycloalkyl or heterocycloalkyl ring, wherein this heterocycloalkyl ring contains -C(O)O-, -C(O)NH-, -C(S)O-, or -C(S)NH-;

F is hydrogen, -O-C<sub>1-6</sub>alkyl, -O-C<sub>1-6</sub>alkylaryl, -O-C<sub>1-6</sub>alkylheteroaryl, halogen, aryl, C<sub>1-6</sub>alkyl, -SH, thio-C<sub>1-6</sub>alkyl, -S-aryl, -O-SO<sub>2</sub>-C<sub>1-6</sub>alkyl, -O-SO<sub>2</sub>-C<sub>1-6</sub>alkylaryl, cyano, or NR<sub>1</sub>R<sub>2</sub>, where R<sub>1</sub> and R<sub>2</sub> are independently hydrogen, C<sub>1-6</sub>alkyl, C<sub>1-6</sub>alkylaryl, cyano, aryl, heteroaryl, -SO<sub>2</sub>-C<sub>1-6</sub>alkyl, or -SO<sub>2</sub>-N(C<sub>1-6</sub>alkyl)(C<sub>1-6</sub>alkyl);

G<sub>1</sub> to G<sub>4</sub> independently represent hydrogen, aryl, halogen, C<sub>1-6</sub>alkyl, hydroxyl, -S-C<sub>1-6</sub>alkyl, nitro, -O-C<sub>1-6</sub>alkyl, -O-C<sub>1-6</sub>alkylaryl, or -(CH<sub>2</sub>)<sub>x</sub>NR<sub>1</sub>R<sub>2</sub>, where x is 0, 1, or 2 and where R<sub>1</sub> and R<sub>2</sub> are independently hydrogen, C<sub>1-6</sub>alkyl, C<sub>1-6</sub>alkylaryl, cyano, aryl, heteroaryl, or acyl, or

two adjacent G<sub>2</sub> to G<sub>4</sub> groups together comprise an alkylene -(CH<sub>2</sub>)<sub>m</sub>-,

where m is 3 or 4, to form a cycloalkyl ring, or together comprise an alkylene dioxy  $\text{--O--(CH}_2\text{)}_n\text{--O--}$ , where n is 1, 2, or 3, to form a heterocycloalkyl ring; and

K is  $\text{C}_{1-6}$ alkyl, halogen, cyano, aryl, hydrogen, hydroxyl, thio- $\text{C}_{1-6}$ alkyl, sulfonyl, sulfoxyl, nitro,  $\text{--O--C}_{1-6}$ alkyl,  $\text{--O--C}_{1-6}$ alkylaryl, or  $\text{NR}_1\text{R}_2$ , where  $\text{R}_1$  and  $\text{R}_2$  are independently hydrogen,  $\text{C}_{1-6}$ alkyl,  $\text{C}_{1-6}$ alkylaryl, cyano, aryl, heteroaryl, or acyl;

wherein one or more of said alkyl, aryl, heteroaryl, cycloalkyl, heterocycloalkyl, and alkylaryl groups are optionally substituted with one or more suitable substituents;

a pharmaceutically acceptable salt thereof, a pharmaceutically acceptable solvate thereof, a pharmaceutically acceptable prodrug thereof, a pharmaceutically acceptable solvated salt thereof, a pharmaceutically acceptable solvated prodrug thereof, a pharmaceutically acceptable salt of a prodrug thereof, or a combination of two or more thereof;

provided that when A is  $\text{--(CH}_2\text{)}_2\text{--N(Y)--}$  and forms a nitrogen-containing heterocycloalkyl ring with B, and D together with E forms an unsubstituted five-membered heterocycloalkyl ring that contains  $\text{--C(O)O--}$ , then:

- (i) F is not unsubstituted  $\text{--O--C}_{1-6}$ alkyl when  $\text{G}_1$  and  $\text{G}_4$  are the same unsubstituted  $\text{--O--C}_{1-6}$ alkyl and Y is unsubstituted  $\text{C}_{1-6}$ alkyl, carbamoyl-substituted  $\text{C}_{1-6}$ alkyl,

thiocarbamoyl-substituted C<sub>1-6</sub>alkyl, hydroxy-substituted C<sub>1-6</sub>alkyl, or heteroaryl, and

(ii) F is not unsubstituted -O-C<sub>1-6</sub>alkyl when G<sub>1</sub> is unsubstituted -O-C<sub>1-6</sub>alkyl, G<sub>4</sub> is hydroxyl, and Y is unsubstituted C<sub>1-6</sub>alkyl.

121. The method of claim 120, wherein A is --(CH<sub>2</sub>)<sub>2</sub>-N(Y)-- and forms a nitrogen-containing heterocycloalkyl ring with B.

122. The method of claim 121, wherein Y is hydrogen, C<sub>1-6</sub>alkyl, or C<sub>1-6</sub>alkylaryl.

123. The method of claim 120, wherein D together with E forms a substituted or unsubstituted five- or six-membered heterocycloalkyl ring that contains --C(O)O-, -C(O)NH-, -C(S)O-, or -C(S)NH-.

124. The method of claim 120, wherein D together with E forms a five-membered heterocycloalkyl ring that contains --C(O)O-.

125. The method of claim 120, wherein A is --(CH<sub>2</sub>)<sub>2</sub>-N(Y)-- and forms a nitrogen-containing heterocycloalkyl ring with B, and D together with E forms a

substituted or unsubstituted five- or six-membered heterocycloalkyl ring that contains --C(O)O-, -C(O)NH-, -C(S)O-, or -C(S)NH-.

126. The method of claim 120, wherein A is --(CH<sub>2</sub>)<sub>2</sub>-N(Y)-- and forms a nitrogen-containing heterocycloalkyl ring with B, and D together with E forms a five-membered heterocycloalkyl ring that contains --C(O)O-.

127. The method of claim 125 or 126, wherein Y is hydrogen, C<sub>1-6</sub>alkyl, or C<sub>1-6</sub>alkylaryl.

128. The method of claim 120, 125, or 126, wherein K is hydrogen.

129. The method of claim 120, 125, or 126, wherein G<sub>1</sub> to G<sub>4</sub> each independently represents hydrogen or -O-C<sub>1-6</sub>alkyl.

130. The method of claim 120, wherein said compound of formula (I) is administered in combination with a pharmaceutically acceptable carrier.

131. The method of claim 120, wherein said mammal is a human.

132. The method of claim 120, wherein said cancer is at least one selected from the group consisting of cancer of the colon, non-small cell lung cancer,

cancer of the brain, ovarian cancer, cervical cancer, cancer of the kidney, cancer of the prostate, leukemia, breast cancer, skin cancer, melanoma, and cancer of the bladder.